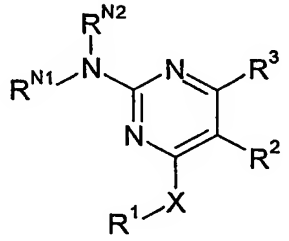
CLAIMS

1. The use of a compound of formula I:



(I)

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the treatment of a condition alleviated by antagonism of a 5-HT_{2B} receptor,
wherein:
X is O or NH;
R^2 and R^3 are independently selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;
R^1 is an optionally substituted C_{9-14} aryl group or an optionally substituted C_{5-7} aryl group;
R^{N1} and R^{N2} are either:
(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N3}R^{N4}$, where n is from 1 to 4 and R^{N3} and R^{N4} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or
(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group.

2. The use according to claim 1, wherein R^{N1} and R^{N2} are independently selected from H and R.

3. The use according to claim 2, wherein R^{N1} and R^{N2} are both H.

4. The use according to any one of claims 1 to 3, wherein R^2 is H.

5. The use according to any one of claims 1 to 4, wherein R^3 is methyl.

6. The use according to any one of claims 1 to 5, wherein X is NH.

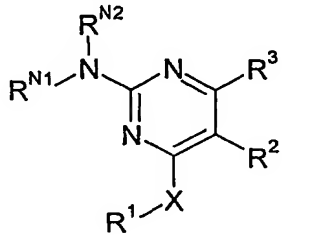
7. The use according to any one of claims 1 to 6, wherein R^1 is selected from an optionally substituted C_{9-14} aryl group and an optionally substituted bi-C_{5-7} aryl group.

8. The use according to claim 7, wherein R^1 is an optionally substituted naphthyl group.

9. The use according to claim 7, wherein R^1 is an optionally substituted biphenyl group.

10. The use according to any one of claims 1 to 9, wherein the condition alleviated by antagonism of a 5-HT_{2B} receptor is a disorder of the GI tract.

11. The use of a compound of formula I:



(I)

or a pharmaceutically acceptable salt thereof in a method of therapy, wherein:

X is O or NH;

R^2 and R^3 are independently selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;

R^1 is an optionally substituted C_{9-14} aryl group or an optionally substituted C_{5-7} aryl group;

R^{N1} and R^{N2} are either:

(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N3}R^{N4}$, where n is from 1 to 4 and R^{N3} and R^{N4} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or

(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group;

with the proviso that when R^{N1}, R^{N2} and R^2 are H, R^3 is methyl, and X is NH, then R^1 is not: phenyl; 3-I-phenyl, 4-Me-phenyl; 3,5-diacetyl-phenyl, 3-acetyl-phenyl; 4-acetyl-phenyl; and 2-carboxy-phenyl.

12. The use according to claim 11, wherein R^{N1} and R^{N2} are independently selected from H and R.

13. The use according to claim 12, wherein R^{N1} and R^{N2} are both H.

14. The use according to any one of claims 11 to 13, wherein R^2 is H.

15. The use according to any one of claims 11 to 14, wherein R^3 is methyl.

16. The use according to any one of claims 11 to 15, wherein X is NH.

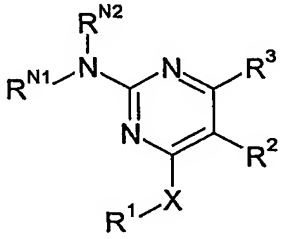
17. The use according to any one of claims 11 to 16, wherein R^1 is selected from an optionally substituted C_{9-14} aryl group and an optionally substituted bi-C_{5-7} aryl group.

18. The use according to claim 17, wherein R^1 is an optionally substituted naphthyl group.

19. The use according to claim 17, wherein R^1 is an optionally substituted biphenyl group.

20. A pharmaceutical composition comprising a compound of formula I as defined in any one of claims 11 to 19, or a pharmaceutically acceptable salt thereof, together with a pharmaceutically acceptable carrier or diluent.

21. A compound of formula I:



(I)

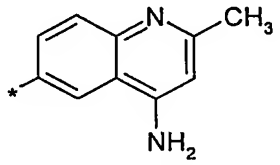
or a salt, solvate and chemically protected form thereof, wherein:
X is O or NH;
R^2 and R^3 are independently selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;
R^1 is an optionally substituted C_{9-14} aryl group or an optionally substituted bi-C_{5-7} aryl group;

R^{N1} and R^{N2} are either:

(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N3}R^{N4}$, where n is from 1 to 4 and R^{N3} and R^{N4} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or

(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group;

with the provisos that when R^{N1}, R^{N2} and R^2 are H, R^3 is methyl, and X is NH, then R^1 is not:



and that when R^{N1}, R^{N2} and R^2 are H, R^3 is methyl, and X is NH, then R^1 is not: phenyl; 3-I-phenyl, 4-Me-phenyl; 3,5-diacetyl-phenyl, 3-acetyl-phenyl; 4-acetyl-phenyl; and 2-carboxy-phenyl.

22. The compound according to claim 21, wherein R^{N1} and R^{N2} are independently selected from H and R.

23. The compound according to claim 22, wherein R^{N1} and R^{N2} are both H.

24. The compound according to any one of claims 21 to 23, wherein R^2 is H.

25. The compound according to any one of claims 21 to 24, wherein R^3 is methyl.

26. The compound according to any one of claims 21 to 25, wherein X is NH.

27. The compound according to any one of claims 21 to 26, wherein R^1 is an optionally substituted naphthyl group.

28. The compound according to any one of claims 21 to 26, wherein R^1 is an optionally substituted biphenyl group.

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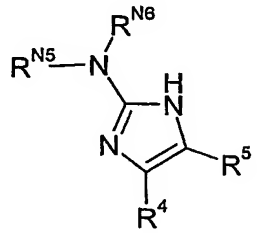
29. The use of a compound of formula II:



(II)

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the treatment of a condition alleviated by antagonism of a 5-HT_{2B} receptor,
wherein:
R^5 is selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;
R^4 is an optionally substituted C_{9-14} aryl group or an optionally substituted bi-C_{5-7} aryl group;
R^{N5} and R^{N6} are either:
(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N7}R^{N8}$, where n is from 1 to 4 and R^{N7} and R^{N8} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or
(ii) together with the nitrogen atom to which they are

attached, form an optionally substituted C_{5-7} heterocyclic group.

30. The use according to claim 29, wherein R^{N5} and R^{N6} are independently selected from H, R and C(=O)R, where R is an optionally substituted C_{1-4} alkyl group.

31. The use according to claim 30, wherein at least one of R^{N5} and R^{N6} is H, and the other is selected from H and C(=O)Me.

32. The use according to any one of claims 29 to 31, wherein R^5 is H.

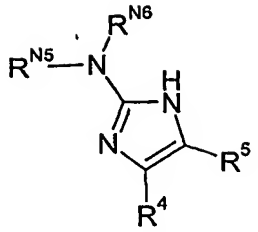
33. The use according to any one of claims 29 to 32, wherein R^4 is preferably a C_{9-14} aryl group or a 3- or 4-C_{5-6} aryl-C_{5-6} aryl group.

34. The use according to claim 33, wherein R^4 is an optionally substituted C_{9-14} carboaryl group.

35. The use according to claim 34, wherein R^4 is an optionally substituted naphthyl group.

36. The use according to any one of claims 29 to 35, wherein the condition alleviated by antagonism of a 5-HT_{2B} receptor is a disorder of the GI tract.

37. The use of a compound of formula II:



(II)

or a pharmaceutically acceptable salt thereof, in a method of therapy, wherein:

R^5 is selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;

R^4 is an optionally substituted C_{9-14} aryl group or an optionally substituted bi-C_{5-7} aryl group;

R^{N5} and R^{N6} are either:

(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N7}R^{N8}$, where n is from 1 to 4 and R^{N7} and R^{N8} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or

(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group;

with the proviso that when R^{N5}, R^{N6} and R^5 are H, R^4 is not unsubstituted 1- or 2-naphthyl or unsubstituted 4-phenyl-phenyl.

38. The use according to claim 37, wherein R^{N5} and R^{N6} are independently selected from H, R and C(=O)R, where R is preferably an optionally substituted C_{1-4} alkyl group.

39. The use according to claim 38, wherein at least one of R^{N5} and R^{N6} is H, and the other is selected from H and C(=O)Me.

40. The use according to any one of claims 37 to 39, wherein R^5 is H.

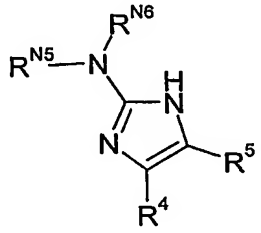
41. The use according to any one of claims 37 to 40, wherein R^4 is preferably an optionally substituted C_{9-14} aryl group or an optionally substituted 3- or 4-C_{5-6} aryl-C_{5-6} aryl group.

42. The use according to claim 41, wherein R^4 is an optionally substituted C_{9-14} carboaryl group.

43. The use according to claim 42, wherein R^4 is an optionally substituted naphthyl group.

44. A pharmaceutical composition comprising a compound of formula II as defined in any one of claims 37 to 43, or a pharmaceutically acceptable salt thereof, together with a pharmaceutically acceptable carrier or diluent.

45. A compound of formula II:



(II)

or a salt, solvate and chemically protected form thereof, wherein:
R^5 is selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;
R^4 is an optionally substituted C_{9-14} aryl group or an optionally substituted bi-C_{5-7} aryl group;
R^{N5} and R^{N6} are either:

(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N7}R^{N8}$, where n is from 1 to 4 and R^{N7} and R^{N8} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or
(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group;
with the provisos that when R^{N5}, R^{N6} and R^5 are H, R^4 is not unsubstituted 1- or 2-naphthyl or unsubstituted 4-phenyl-phenyl
and that when R^{N6} and R^5 are H, and R^{N5} is acetyl then R^4 is not unsubstituted 2-naphthyl.

46. The compound according to claim 45, wherein R^{N5} and R^{N6} are independently selected from H, R and C(=O)R, where R is preferably an optionally substituted C_{1-4} alkyl group.

47. The compound according to claim 46, wherein at least one of R^{N5} and R^{N6} is H, and the other is selected from H and C(=O)Me.

48. The compound according to any one of claims 45 to 47, wherein R^5 is H.

49. The compound according to any one of claims 45 to 48, wherein R^4 is preferably an optionally substituted C_{9-14} aryl group or an optionally substituted 3- or 4-C_{5-6} aryl-C_{5-6} aryl group.

50. The compound according to claim 49, wherein R^4 is an optionally substituted C_{9-14} carboaryl group.

51. The compound according to claim 50, wherein R^4 is an optionally substituted naphthyl group.

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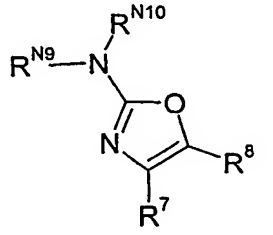
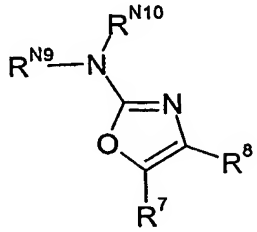
52. The use of a compound of formula IIIa or IIIb:



(IIIa)



(IIIb)

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the treatment of a condition alleviated by antagonism of a 5-HT_{2B} receptor, wherein:
R^8 is selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;
R^7 is an optionally substituted bi-C_{5-7} aryl group;
R^{N9} and R^{N10} are either:
(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N11}R^{N12}$, where n is from 1 to 4 and R^{N11} and R^{N12} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or
(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group.

53. The use according to claim 52, wherein the compound is of formula (IIIb).

54. The use according to either claim 52 or claim 53, wherein R^8 is selected from H and and optionally substituted

C_{1-6} alkyl.

55. The use according to claim 54, wherein R^8 is H or methyl.

56. The use according to any one of claims 52 to 55, wherein R^{N9} and R^{N10} are independently selected from H and R.

57. The use according to claim 56, wherein R is an optionally substituted C_{1-4} alkyl group.

58. The use according to any one of claims 52 to 57, wherein R^7 is an optionally substituted bi-C_6 aryl group.

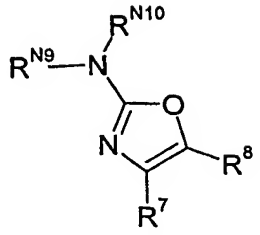
59. The use according to claim 58, wherein R^7 is an optionally substituted bi-phenyl group.

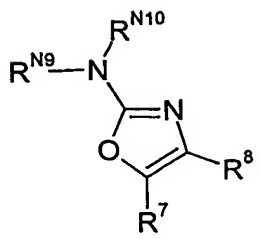
60. The use according to any one of claims 52 to 59, wherein the condition alleviated by antagonism of a 5-HT_{2B} receptor is a disorder of the GI tract.

61. The use of a compound of formula IIIa or IIIb as defined in any one of claims 52 to 60, or a pharmaceutically acceptable salt thereof, in a method of therapy.

62. A pharmaceutical composition comprising a compound of formula IIIa or IIIb as defined in any one of claims 52 to 60, or a pharmaceutically acceptable salt thereof, together with a pharmaceutically acceptable carrier or diluent.

63. A compound of formula IIIa or IIIb:


(IIIa)


(IIIb)

or a salt, solvate and chemically protected form thereof, wherein:

R^8 is selected from the group consisting of H, and optionally substituted C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl-C_{1-4} alkyl, and phenyl-C_{1-4} alkyl;

R^7 is an optionally substituted bi-C_{5-7} aryl group;

R^{N9} and R^{N10} are either:

(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N11}R^{N12}$, where n is from 1 to 4 and R^{N11} and R^{N12} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or

(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group;

with the proviso that in formula IIIb, when R^{N9}, R^{N10} and R^8 are H, R^7 is not 4-phenyl-phenyl.

64. The compound according to claim 63, wherein the compound is of formula (IIIb).

65. The compound according to either claim 63 or claim 64, wherein R^8 is selected from H and and optionally substituted C_{1-6} alkyl.

66. The compound according to claim 65, wherein R^8 is H or methyl.

67. The compound according to any one of claims 63 to 66, wherein R^{N9} and R^{N10} are independently selected from H and R.

68. The compound according to claim 67, wherein R is an optionally substituted C_{1-4} alkyl group.

69. The compound according to any one of claims 63 to 68, wherein R^7 is an optionally substituted bi-C_6 aryl group.

70. The compound according to claim 69, wherein R^7 is an optionally substituted bi-phenyl group.

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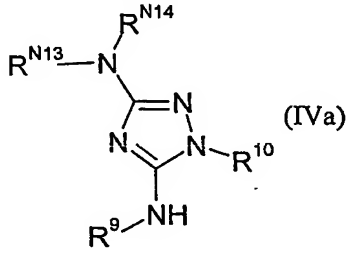
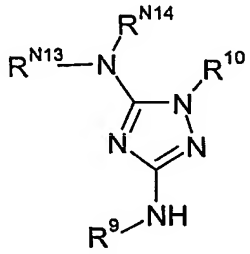
71. A compound of formula IVa or IVb:


(IVa)


(IVb)

or a salt, solvate and chemically protected form thereof, wherein:

R^{10} is selected from the group consisting of H and optionally substituted C_{1-6} alkyl;

R^9 is an optionally substituted C_{9-14} aryl group or an optionally substituted bi-C_{5-7} aryl group;

R^{N13} and R^{N14} are either:

(i) independently selected from H, R, R′, SO_2R, C(=O)R, $(CH_2)_nNR^{N15}R^{N16}$, where n is from 1 to 4 and R^{N15} and R^{N16} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R′ is optionally substituted phenyl-C_{1-4} alkyl, or

(ii) together with the nitrogen atom to which they are

attached, form an optionally substituted C_{5-7} heterocyclic group,
with the proviso that when R^{10}, R^{N13} and R^{N14} are H, R^9 is not an unsubstituted naphthyl group.

72. A compound according to claim 71, wherein the compound is of formula (IVb).

73. The compound according to either claim 71 or claim 72, wherein R^{10} is selected from H and optionally substituted C_{1-6} alkyl.

74. The compound according to claim 73, wherein R^{10} is methyl.

75. The compound according to any one of claims 71 to 74, wherein R^{N13} and R^{N14} are independently selected from H and R.

76. The compound according to claim 75, wherein R is preferably an optionally substituted C_{1-4} alkyl group.

77. The compound according to any one of claims 71 to 76, wherein R^9 is an optionally substituted bi-C_6 aryl group.

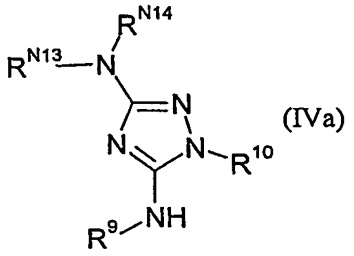
78. The compound according to any one of claims 71 to 77, wherein R^9 is an optionally substituted bi-phenyl group.

79. The use of a compound of formula IVa or IVb as defined in any one of claims 71 to 78, or a pharmaceutically acceptable salt thereof in a method of therapy.

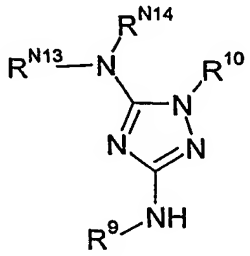
80. A pharmaceutical composition comprising a compound of formula IVa or IVb as defined in any one of claims 71 to 78,

or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.

81. The use of a compound of formula IVa or IVb:



(IVa)



(IVb)

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the treatment of a condition alleviated by antagonism of a 5-HT_{2B} receptor, wherein:
R^{10} is selected from the group consisting of H and optionally substituted C_{1-6} alkyl;
R^9 is an optionally substituted C_{9-14} aryl group or an optionally substituted bi-C_{5-7} aryl group;
R^{N13} and R^{N14} are either:
(i) independently selected from H, R, R', SO_2R, C(=O)R, $(CH_2)_nNR^{N15}R^{N16}$, where n is from 1 to 4 and R^{N15} and R^{N16} are independently selected from H and R, where R is optionally substituted C_{1-4} alkyl, and R' is optionally substituted phenyl-C_{1-4} alkyl, or
(ii) together with the nitrogen atom to which they are attached, form an optionally substituted C_{5-7} heterocyclic group.

82. The use according to claim 81, wherein the condition which can be alleviated by antagonism of a 5-HT_{2B} receptor is a disorder of the GI tract.

83. The use according to either claim 81 or claim 82, wherein the compound is of formula (IVb).

84. The use according to any one of claims 81 to 83, wherein R^{10} is selected from H and optionally substituted C_{1-6} alkyl.

85. The use according to claim 84, wherein R^{10} is methyl.

86. The use according to any one of claims 81 to 85, wherein R^{N13} and R^{N14} are independently selected from H and R.

87. The use according to claim 86, wherein R is preferably an optionally substituted C_{1-4} alkyl group.

88. The use according to any one of claims 81 to 87, wherein R^9 is an optionally substituted bi-C_6 aryl group.

89. The use according to any one of claims 81 to 88, wherein R^9 is an optionally substituted bi-phenyl group.